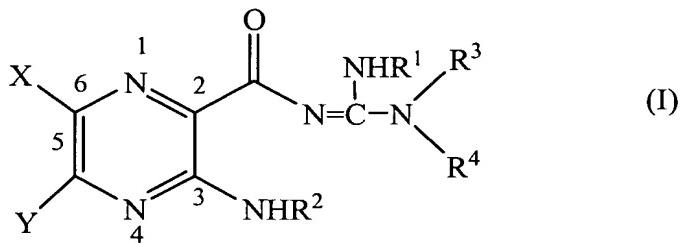


IN THE CLAIMS

The status of each claim in the application is provided below:

1. (Previously Presented) A compound represented by formula (I):



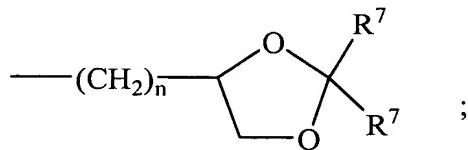
wherein

X is hydrogen, halogen, trifluoromethyl, lower alkyl, unsubstituted or substituted phenyl, lower alkyl-thio, phenyl-lower alkyl-thio, lower alkyl-sulfonyl, or phenyl-lower alkyl-sulfonyl;

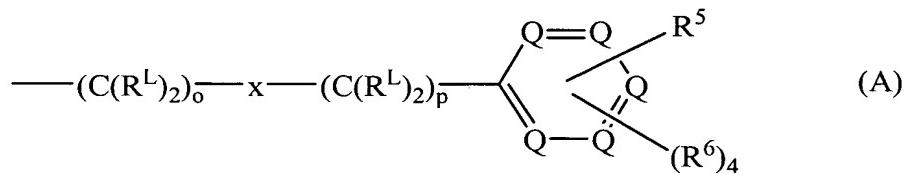
Y is hydrogen, hydroxyl, mercapto, lower alkoxy, lower alkyl-thio, halogen, lower alkyl, unsubstituted or substituted mononuclear aryl, or -N(R²)₂;

R¹ is hydrogen or lower alkyl;

each R² is, independently, -R⁷, -(CH₂)ₘ-OR⁸, -(CH₂)ₘ-NR⁷R¹⁰,  
-(CH₂)ₙ(CHOR⁸)(CHOR⁸)ₙ-CH₂OR⁸, -(CH₂CH₂O)ₘ-R⁸,  
-(CH₂CH₂O)ₘ-CH₂CH₂NR⁷R¹⁰, -(CH₂)ₙ-C(=O)NR⁷R¹⁰, -(CH₂)ₙ-Zg-R⁷, -(CH₂)ₘ-NR¹⁰-  
CH₂(CHOR⁸)(CHOR⁸)ₙ-CH₂OR⁸, -(CH₂)ₙ-CO₂R⁷, or

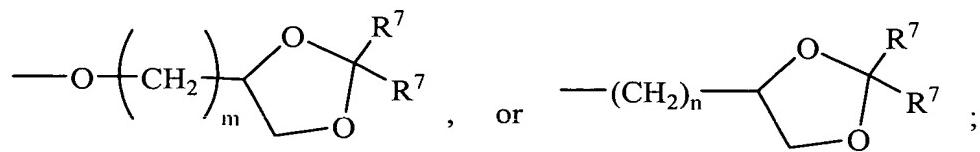


$R^3$  and  $R^4$  are each, independently, hydrogen, a group represented by formula (A), lower alkyl, hydroxy lower alkyl, phenyl, phenyl-lower alkyl, (halophenyl)-lower alkyl, lower-(alkylphenylalkyl), lower (alkoxyphenyl)-lower alkyl, naphthyl-lower alkyl, or pyridyl-lower alkyl, with the proviso that at least one of  $R^3$  and  $R^4$  is a group represented by formula (A):



wherein

each  $R^L$  is, independently,  $-R^7$ ,  $-(\text{CH}_2)_n\text{OR}^8$ ,  $-\text{O}-(\text{CH}_2)_m\text{OR}^8$ ,  $-(\text{CH}_2)_n\text{NR}^7\text{R}^{10}$ ,  $-\text{O}-(\text{CH}_2)_m\text{NR}^7\text{R}^{10}$ ,  $-(\text{CH}_2)_n(\text{CHOR}^8)(\text{CHOR}^8)_n\text{CH}_2\text{OR}^8$ ,  $-\text{O}-(\text{CH}_2)_m(\text{CHOR}^8)(\text{CHOR}^8)_n\text{CH}_2\text{OR}^8$ ,  $-(\text{CH}_2\text{CH}_2\text{O})_m\text{R}^8$ ,  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_m\text{R}^8$ ,  $-(\text{CH}_2\text{CH}_2\text{O})_m\text{CH}_2\text{CH}_2\text{NR}^7\text{R}^{10}$ ,  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_m\text{CH}_2\text{CH}_2\text{NR}^7\text{R}^{10}$ ,  $-(\text{CH}_2)_n\text{C}(=\text{O})\text{NR}^7\text{R}^{10}$ ,  $-\text{O}-(\text{CH}_2)_m\text{C}(=\text{O})\text{NR}^7\text{R}^{10}$ ,  $-(\text{CH}_2)_n\text{-(Z)}_g\text{R}^7$ ,  $-\text{O}-(\text{CH}_2)_m\text{-(Z)}_g\text{R}^7$ ,  $-(\text{CH}_2)_n\text{NR}^{10}\text{-CH}_2(\text{CHOR}^8)(\text{CHOR}^8)_n\text{CH}_2\text{OR}^8$ ,  $-\text{O}-(\text{CH}_2)_m\text{NR}^{10}\text{-CH}_2(\text{CHOR}^8)(\text{CHOR}^8)_n\text{CH}_2\text{OR}^8$ ,  $-(\text{CH}_2)_n\text{-CO}_2\text{R}^7$ ,  $-\text{O}-(\text{CH}_2)_m\text{-CO}_2\text{R}^7$ ,  $-\text{OSO}_3\text{H}$ ,  $-\text{O-glucuronide}$ ,  $-\text{O-glucose}$ ,



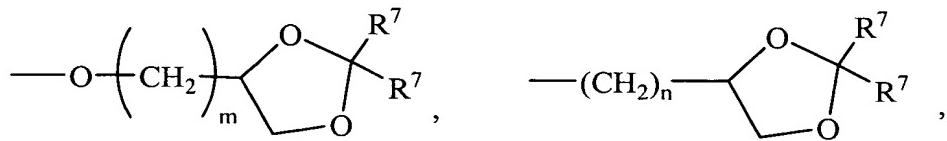
each o is, independently, an integer from 0 to 10;

each p is an integer from 0 to 10;

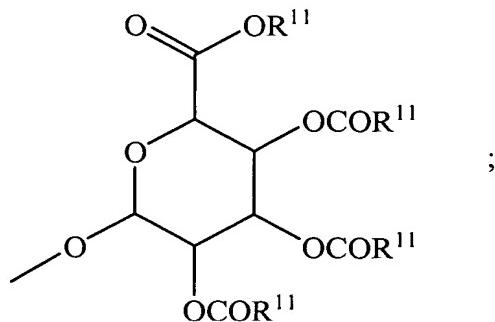
with the proviso that the sum of o and p in each contiguous chain is from 1 to 10;

each x is, independently, O, NR<sup>10</sup>, C(=O), CHO, C(=N-R<sup>10</sup>), CHNR<sup>7</sup>R<sup>10</sup>, or represents a single bond;

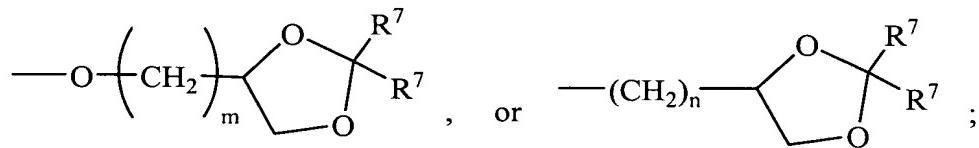
each R<sup>5</sup> is, independently, -(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>, -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>7</sup>R<sup>10</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>, -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>, -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-(Z)<sub>g</sub>-R<sup>7</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-(Z)<sub>g</sub>-R<sup>7</sup>, -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-CO<sub>2</sub>R<sup>7</sup>, -OSO<sub>3</sub>H, -O-glucuronide, -O-glucose,



or

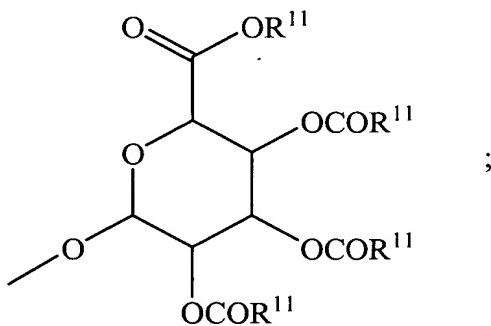


each R<sup>6</sup> is, independently, -R<sup>7</sup>, -OR<sup>11</sup>, -N(R<sup>7</sup>)<sub>2</sub>, -(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>,  
-O-(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>, -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>7</sup>R<sup>10</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>7</sup>R<sup>10</sup>,  
-(CH<sub>2</sub>)<sub>n</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,  
-O-(CH<sub>2</sub>)<sub>m</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,  
-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>, -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>,  
-O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>,  
-O-(CH<sub>2</sub>)<sub>m</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-(Z)<sub>g</sub>-R<sup>7</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-(Z)<sub>g</sub>-R<sup>7</sup>,  
-(CH<sub>2</sub>)<sub>n</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,  
-O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,  
-(CH<sub>2</sub>)<sub>n</sub>-CO<sub>2</sub>R<sup>7</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-CO<sub>2</sub>R<sup>7</sup>, -OSO<sub>3</sub>H, -O-glucuronide, -O-glucose,



wherein when two R<sup>6</sup> are -OR<sup>11</sup> and are located adjacent to each other on a phenyl ring, the alkyl moieties of the two R<sup>6</sup> may be bonded together to form a methylenedioxy group;

each R<sup>7</sup> is, independently, hydrogen or lower alkyl;  
each R<sup>8</sup> is, independently, hydrogen, lower alkyl, -C(=O)-R<sup>11</sup>, glucuronide, 2-tetrahydropyranyl, or



each R<sup>9</sup> is, independently, -CO<sub>2</sub>R<sup>7</sup>, -CON(R<sup>7</sup>)<sub>2</sub>, -SO<sub>2</sub>CH<sub>3</sub>, or -C(=O)R<sup>7</sup>;

each R<sup>10</sup> is, independently, -H, -SO<sub>2</sub>CH<sub>3</sub>, -CO<sub>2</sub>R<sup>7</sup>, -C(=O)NR<sup>7</sup>R<sup>9</sup>,

-C(=O)R<sup>7</sup>, or -CH<sub>2</sub>-(CHOH)<sub>n</sub>-CH<sub>2</sub>OH;

each Z is, independently, CHOH, C(=O), CHNR<sup>7</sup>R<sup>10</sup>, C=NR<sup>10</sup>, or NR<sup>10</sup>;

each R<sup>11</sup> is, independently, lower alkyl;

each g is, independently, an integer from 1 to 6;

each m is, independently, an integer from 1 to 7;

each n is, independently, an integer from 0 to 7;

each Q is, independently, C-R<sup>5</sup> or C-R<sup>6</sup>, wherein one Q is C-R<sup>5</sup>;

or a pharmaceutically acceptable salt thereof, and

inclusive of all enantiomers, diastereomers, and racemic mixtures thereof.

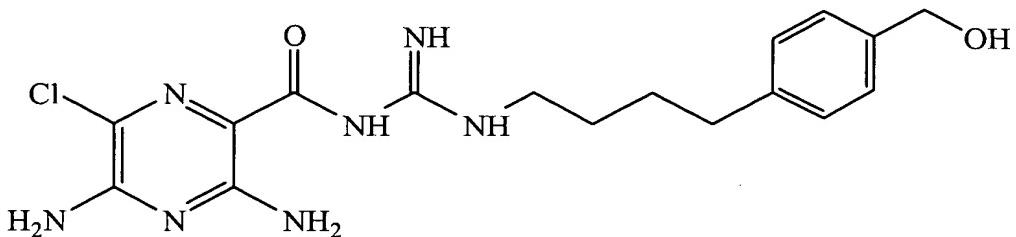
2. (Previously Presented) The compound of Claim 1, wherein Y is -NH<sub>2</sub>.

3. (Previously Presented) The compound of Claim 2, wherein R<sup>2</sup> is hydrogen.

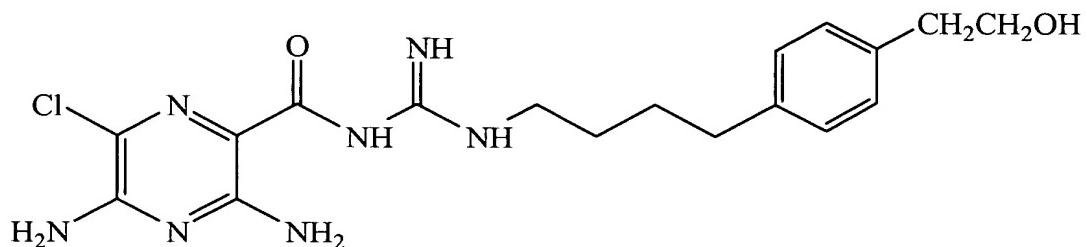
4. (Previously Presented) The compound of Claim 3, wherein R<sup>1</sup> is hydrogen.

5. (Previously Presented) The compound of Claim 4, wherein X is chlorine.

6. (Previously Presented) The compound of Claim 5, wherein R<sup>3</sup> is hydrogen.
7. (Previously Presented) The compound of Claim 6, wherein each R<sup>L</sup> is hydrogen.
8. (Previously Presented) The compound of Claim 7, wherein o is 4.
9. (Previously Presented) The compound of Claim 8, wherein p is 0.
10. (Previously Presented) The compound of Claim 9, wherein x represents a single bond.
11. (Previously Presented) The compound of Claim 10, wherein each R<sup>6</sup> is hydrogen.
12. Canceled.
13. Canceled.
14. (Previously Amended) The compound of Claim 11, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>.
15. (Previously Presented) The compound of Claim 14, which is represented by the formula:

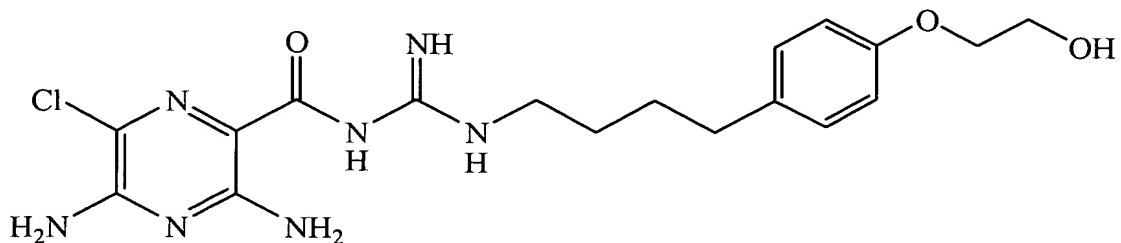


16. (Previously Presented) The compound of Claim 14, which is represented by the formula:

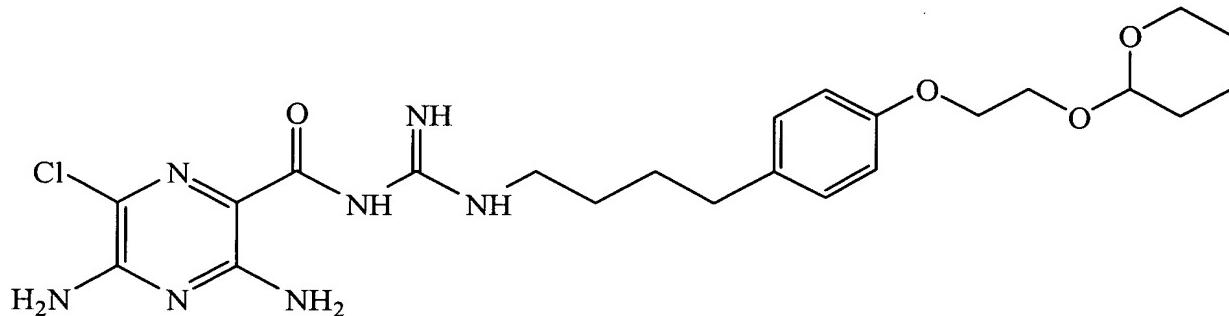


17. (Previously Amended) The compound of Claim 11, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>.

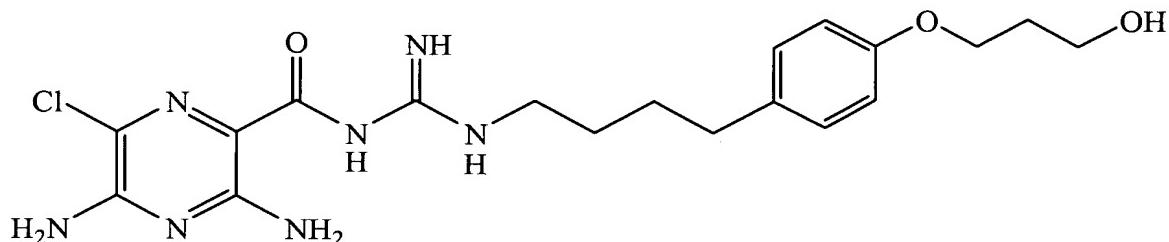
18. (Previously Presented) The compound of Claim 17, which is represented by the formula:



19. (Previously Presented) The compound of Claim 17, which is represented by the formula:

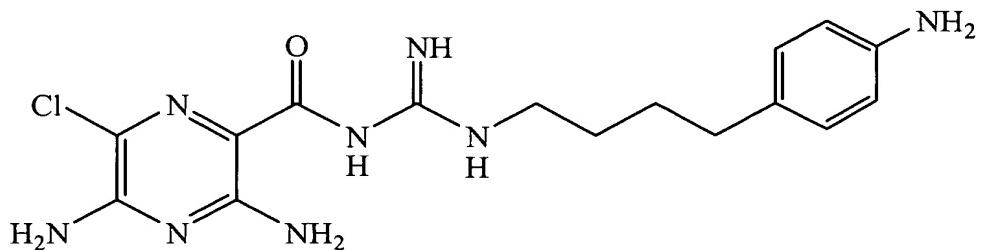


20. (Previously Presented) The compound of Claim 17, which is represented by the formula:



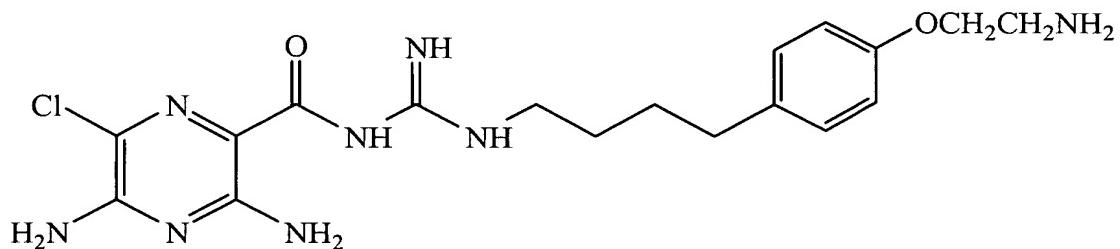
21. (Previously Amended) The compound of Claim 11, wherein  $\text{R}^5$  is  $-(\text{CH}_2)_n-$   $\text{NR}^7\text{R}^{10}$ .

22. (Previously Presented) The compound of Claim 21, which is represented by the formula:

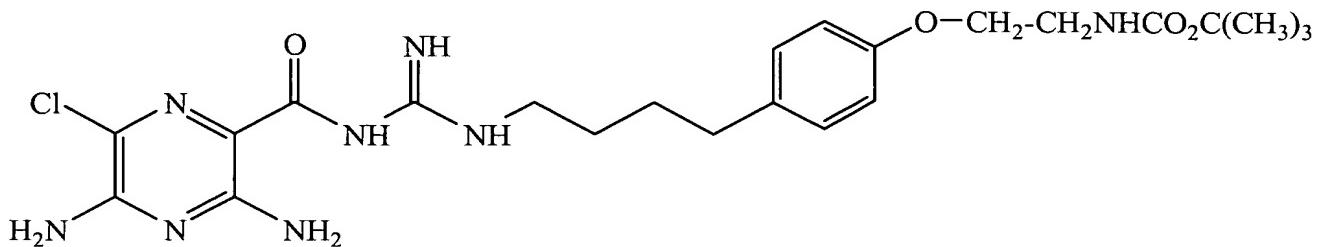


23. (Previously Amended) The compound of Claim 11, wherein  $\text{R}^5$  is  $-\text{O}-(\text{CH}_2)_m-$   
 $\text{NR}^7\text{R}^{10}$ .

24. (Previously Presented) The compound of Claim 23, which is represented by the formula:



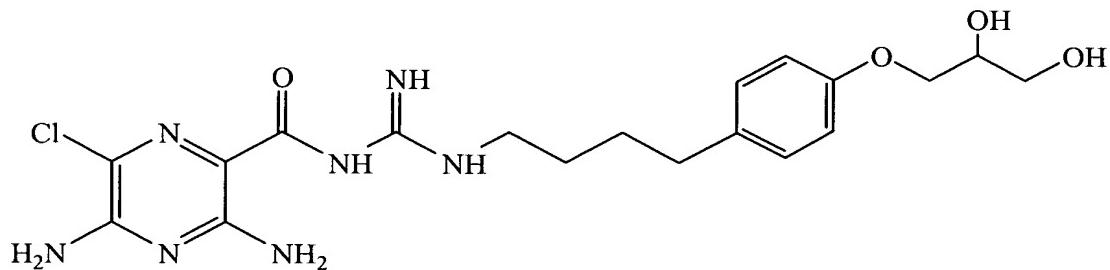
25. (Previously Presented) The compound of Claim 23, which is represented by the formula:



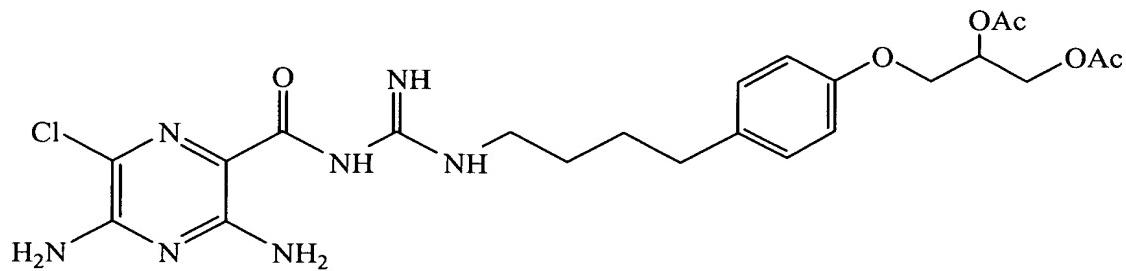
26. (Previously Amended) The compound of Claim 11, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>.

27. (Previously Amended) The compound of Claim 11, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>.

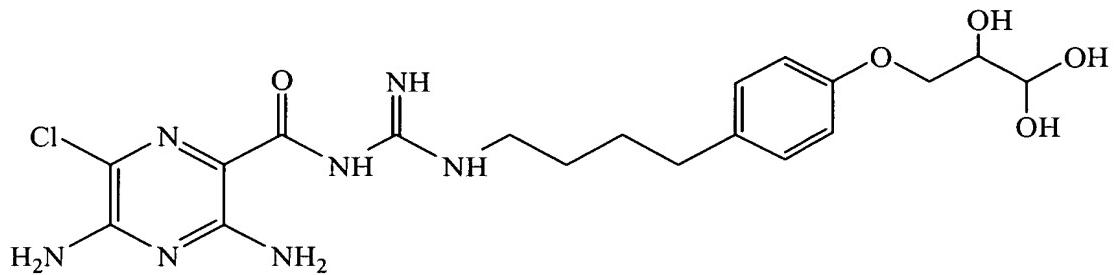
28. (Previously Presented) The compound of Claim 27, which is represented by the formula:



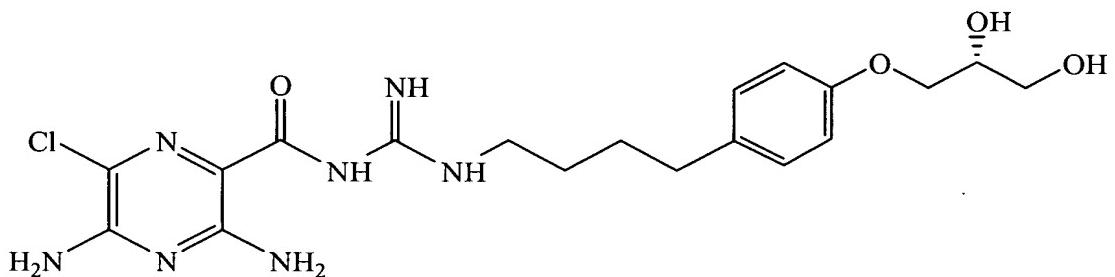
29. (Previously Presented) The compound of Claim 27, which is represented by the formula:



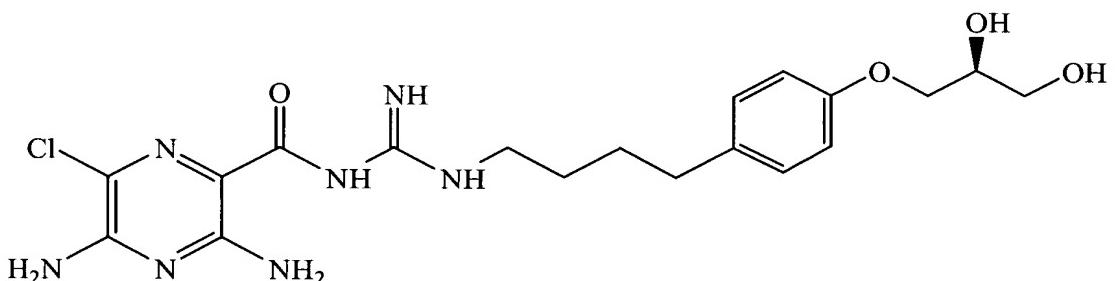
30. (Previously Presented) The compound of Claim 27, which is represented by the formula:



31. (Previously Presented) The compound of Claim 27, which is represented by the formula:



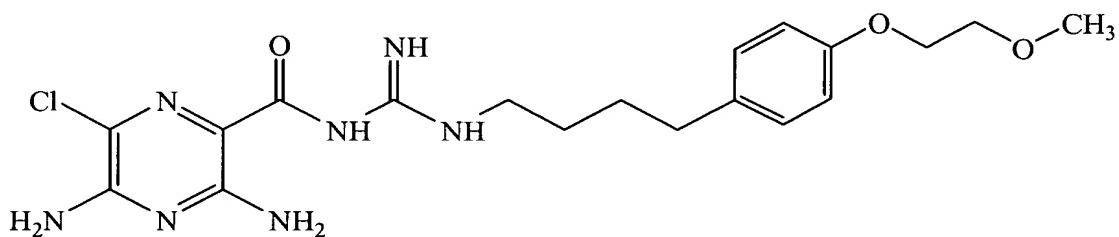
32. (Previously Presented) The compound of Claim 27, which is represented by the formula:



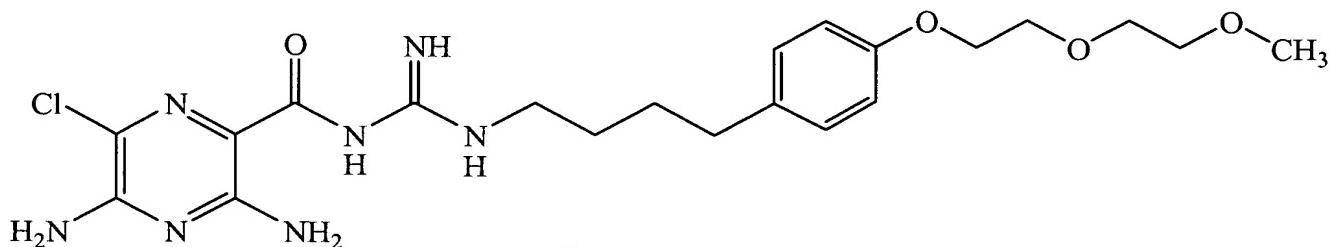
33. (Previously Presented) The compound of Claim 11, wherein R<sup>5</sup> is -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>.

34. (Previously Presented) The compound of Claim 11, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>.

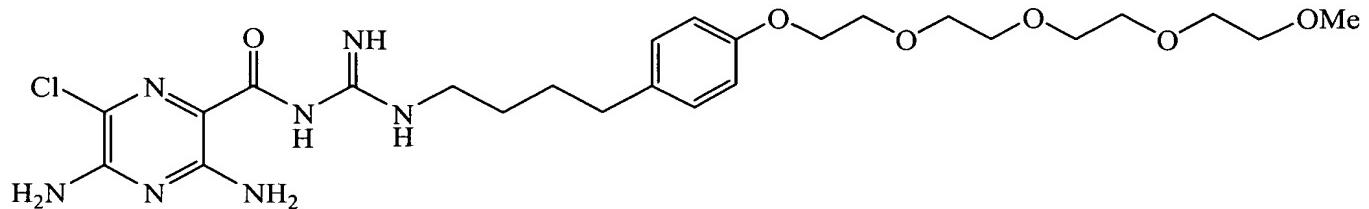
35. (Previously Presented) The compound of Claim 34, which is represented by the formula:



36. (Previously Presented) The compound of Claim 34, which is represented by the formula:



37. (Previously Presented) The compound of Claim 34, which is represented by the formula:



38. (Previously Presented) The compound of Claim 11, wherein R<sup>5</sup> is -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>.

39. (Previously Presented) The compound of Claim 11, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>.

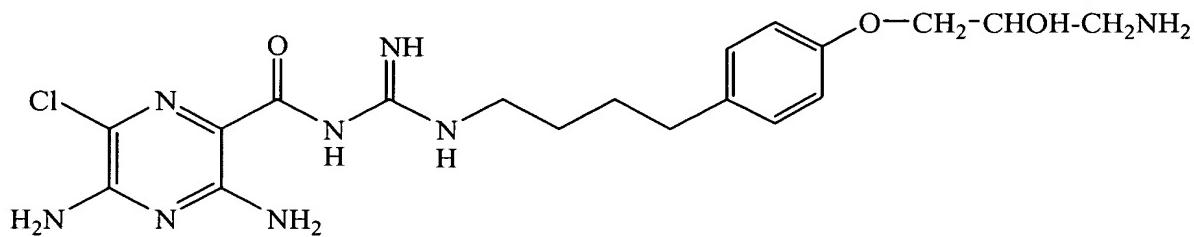
40. (Previously Presented) The compound of Claim 11, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>.

41. (Previously Presented) The compound of Claim 11, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>.

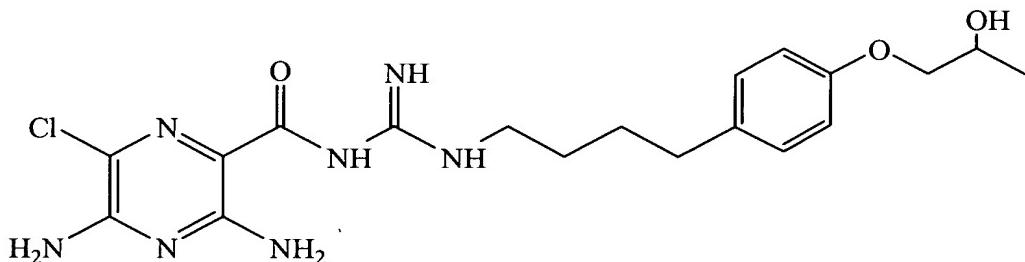
42. (Previously Presented) The compound of Claim 11, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>-(Z)<sub>g</sub>-R<sup>7</sup>.

43. (Previously Presented) The compound of Claim 11, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-(Z)<sub>g</sub>-R<sup>7</sup>.

44. (Previously Presented) The compound of Claim 43, which is represented by the formula:



45. (Previously Presented) The compound of Claim 43, which is represented by the formula:



46. (Currently Presented) The compound of Claim 11, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>.

47. (Previously Presented) The compound of Claim 11, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>.

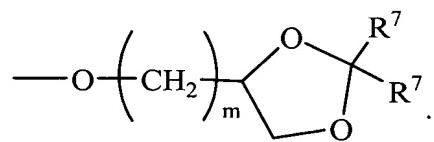
48. (Previously Presented) The compound of Claim 11, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-CO<sub>2</sub>R<sup>7</sup>.

49. (Previously Presented) The compound of Claim 11, wherein R<sup>5</sup> is -OSO<sub>3</sub>H.

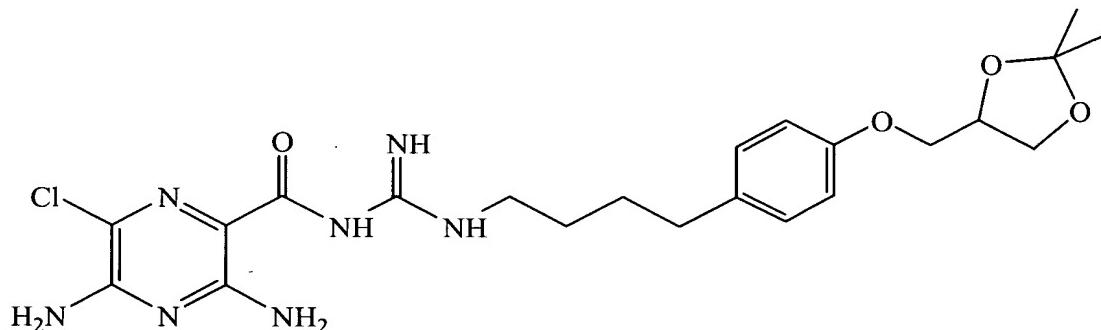
50. (Previously Presented) The compound of Claim 11, wherein R<sup>5</sup> is -O-glucuronide.

51. (Previously Presented) The compound of Claim 11, wherein R<sup>5</sup> is -O-glucose.

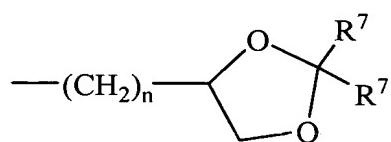
52. (Previously Presented) The compound of Claim 11, wherein R<sup>5</sup> is



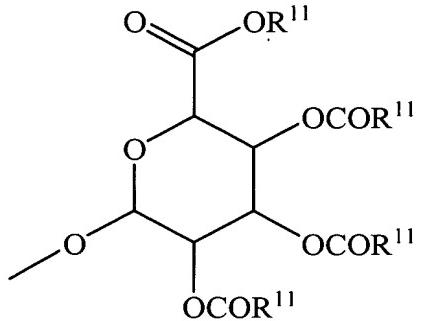
53. (Previously Presented) The compound of Claim 52, which is represented by the formula:



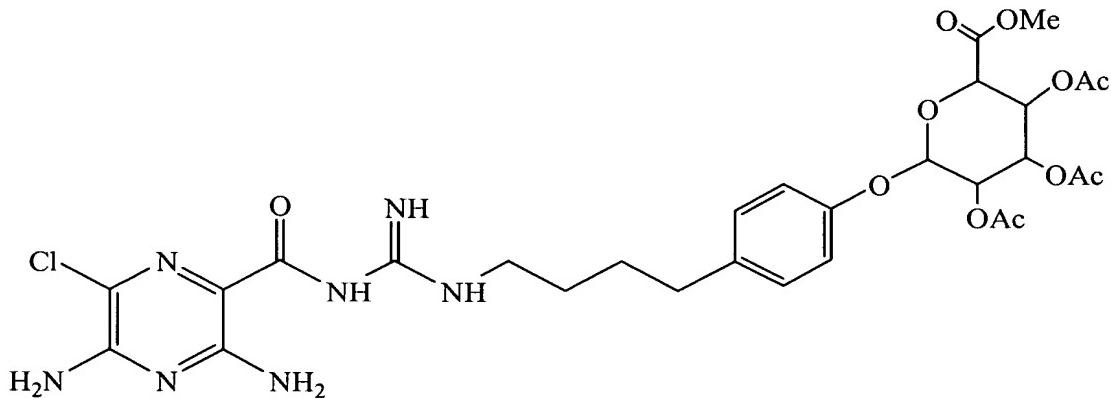
54. (Previously Presented) The compound of Claim 11, wherein R<sup>5</sup> is



55. (Previously Presented) The compound of Claim 11, wherein R<sup>5</sup> is



56. (Previously Presented) The compound of Claim 55, which is represented by the formula:



57. (Previously Presented) The compound of Claim 1, wherein

X is halogen;

Y is -N(R<sup>7</sup>)<sub>2</sub>;

R<sup>1</sup> is hydrogen or C<sub>1</sub>-C<sub>3</sub> alkyl;

R<sup>2</sup> is -R<sup>7</sup>, -(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>, or -(CH<sub>2</sub>)<sub>n</sub>-CO<sub>2</sub>R<sup>7</sup>;

R<sup>3</sup> is a group represented by formula (A); and

R<sup>4</sup> is hydrogen, a group represented by formula (A), or lower alkyl.

58. (Previously Presented) The compound of Claim 57, wherein

X is chloro or bromo;

Y is -N(R<sup>7</sup>)<sub>2</sub>;

R<sup>2</sup> is hydrogen or C<sub>1</sub>-C<sub>3</sub> alkyl;

at most three R<sup>6</sup> are other than hydrogen as defined above; and

at most three R<sup>L</sup> are other than hydrogen as defined above.

59. (Previously Presented) The compound of Claim 58, wherein Y is -NH<sub>2</sub>.

60. (Previously Presented) The compound of Claim 59, wherein R<sup>4</sup> is hydrogen;

at most one R<sup>L</sup> is other than hydrogen as defined above; and

at most two R<sup>6</sup> are other than hydrogen as defined above.

61. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>.

62. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>.

63. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>7</sup>R<sup>10</sup>.

64. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>7</sup>R<sup>10</sup>.

65. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>.

66. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>.

67. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>.

68. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>.

69. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>.

70. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>.

71. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>.

72. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>.

73. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>-(Z)<sub>g</sub>-R<sup>7</sup>.

74. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-(Z)<sub>g</sub>-R<sup>7</sup>.

75. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>.

76. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>.

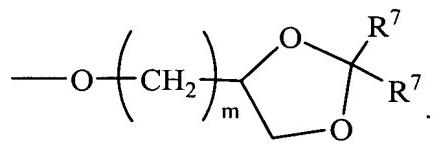
77. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-CO<sub>2</sub>R<sup>7</sup>.

78. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is -OSO<sub>3</sub>H.

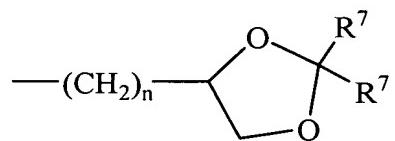
79. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is -O-glucuronide.

80. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is -O-glucose.

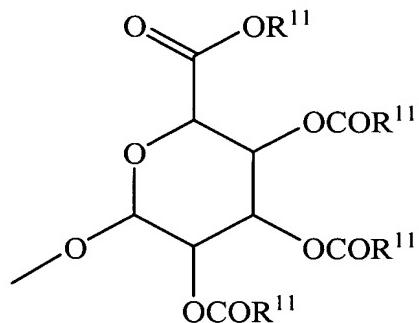
81. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is



82. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is



83. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is



84. (Previously Presented) The compound of Claim 1, wherein x is a single bond.

85. (Previously Presented) The compound of Claim 1, which is in the form of a pharmaceutically acceptable salt.

86. (Previously Presented) A pharmaceutical composition, comprising the compound of Claim 1 and a pharmaceutically acceptable carrier.

87. Cancelled.

88. Cancelled.

89. (Previously Presented) A method of blocking sodium channels, comprising:  
contacting sodium channels with an effective amount of the compound of Claim 1.

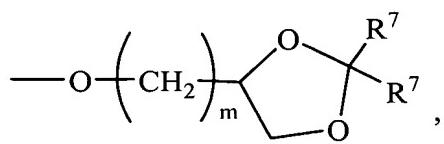
Claim 90-116: Cancelled.

117. (Currently Amended) A composition, comprising:  
the compound of Claim 1; and  
a P2Y2 receptor agonist inhibitor.

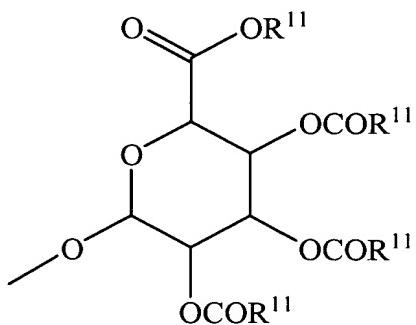
118. (Previously Presented) A composition, comprising:  
the compound of Claim 1; and  
a bronchodilator.

119. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is selected from  
the group consisting of

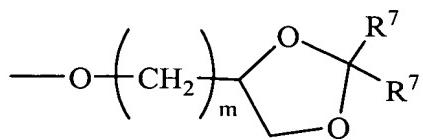
-O-(CH<sub>2</sub>)<sub>3</sub>-OH, -NH<sub>2</sub>, -O-CH<sub>2</sub>-(CHOH)<sub>2</sub>-CH<sub>2</sub>OH, -O-CH<sub>2</sub>-CHOH-CH<sub>2</sub>OH,  
-O-CH<sub>2</sub>CH<sub>2</sub>-O-tetrahydropyran-2-yl, -O-CH<sub>2</sub>CHOH-CH<sub>2</sub>-O-glucuronide,  
-O-CH<sub>2</sub>CH<sub>2</sub>OH, -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>4</sub>-CH<sub>3</sub>, -O-CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>,  
-O-CH<sub>2</sub>-(CHOC(=O)CH<sub>3</sub>)-CH<sub>2</sub>-OC(=O)CH<sub>3</sub>, -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>2</sub>-CH<sub>3</sub>,  
-OCH<sub>2</sub>-CHOH-CHOH-CH<sub>2</sub>OH, -CH<sub>2</sub>OH,



and

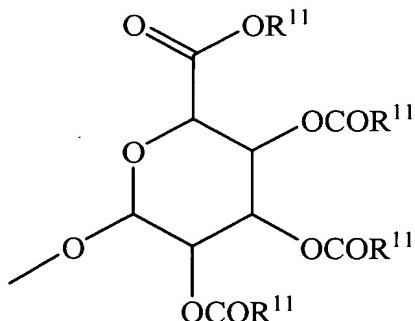


120. (Previously Presented) The compound of Claim 1, wherein R<sup>5</sup> is selected from the group consisting of para -O-(CH<sub>2</sub>)<sub>3</sub>-OH, para -NH<sub>2</sub>, para -O-CH<sub>2</sub>-(CHOH)<sub>2</sub>-CH<sub>2</sub>OH, ortho -O-CH<sub>2</sub>-CHOH-CH<sub>2</sub>OH, meta -O-CH<sub>2</sub>-CHOH-CH<sub>2</sub>OH, para -O-CH<sub>2</sub>CH<sub>2</sub>-O-tetrahydropyran- 2-yl, para -O-CH<sub>2</sub>CHOH-CH<sub>2</sub>-O-glucuronide, para -O-CH<sub>2</sub>CH<sub>2</sub>OH, para -O- (CH<sub>2</sub>CH<sub>2</sub>O)<sub>4</sub>-CH<sub>3</sub>, para -O-CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, para -O-CH<sub>2</sub>-(CHOC(=O)CH<sub>3</sub>)-CH<sub>2</sub>-OC(=O)CH<sub>3</sub>, para -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>2</sub>-CH<sub>3</sub>, -OCH<sub>2</sub>-CHOH-CHOH-CH<sub>2</sub>OH, para -CH<sub>2</sub>OH, para -SO<sub>3</sub>H, para -O-glucuronide, para



and

para



121. (Previously Presented) The compound of Claim 119, wherein

X is chloro or bromo;

Y is -N(R⁷)₂;

R¹ is hydrogen or C₁-C₃ alkyl;

R² is hydrogen or C₁-C₃ alkyl;

R³ is a group represented by formula (A); and

R⁴ is hydrogen, a group represented by formula (A), or lower alkyl;

at most three R⁶ are other than hydrogen as defined above; and

at most three R⁷ are other than hydrogen as defined above.

122. (Previously Presented) The compound of Claim 121, wherein

R⁴ is hydrogen;

at most one R⁷ is other than hydrogen as defined above; and

at most two R⁶ are other than hydrogen as defined above.

123. (Previously Presented) The compound of Claim 120, wherein

X is chloro or bromo;

Y is -N(R⁷)₂;

R<sup>1</sup> is hydrogen or C<sub>1</sub>-C<sub>3</sub> alkyl;

R<sup>2</sup> is hydrogen or C<sub>1</sub>-C<sub>3</sub> alkyl;

R<sup>3</sup> is a group represented by formula (A); and

R<sup>4</sup> is hydrogen, a group represented by formula (A), or lower alkyl;

at most three R<sup>6</sup> are other than hydrogen as defined above; and

at most three R<sup>L</sup> are other than hydrogen as defined above.

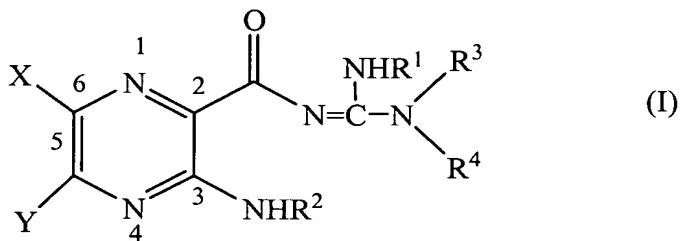
124. (Previously Presented) The compound of Claim 123, wherein

R<sup>4</sup> is hydrogen;

at most one R<sup>L</sup> is other than hydrogen as defined above; and

at most two R<sup>6</sup> are other than hydrogen as defined above.

125. (Previously Presented) A compound represented by formula (I):



wherein

X is hydrogen, halogen, trifluoromethyl, lower alkyl, unsubstituted or substituted phenyl, lower alkyl-thio, phenyl-lower alkyl-thio, lower alkyl-sulfonyl, or phenyl-lower alkyl-sulfonyl;

Y is hydrogen, hydroxyl, mercapto, lower alkoxy, lower alkyl-thio, halogen, lower alkyl, unsubstituted or substituted mononuclear aryl, or -N(R<sup>2</sup>)<sub>2</sub>;

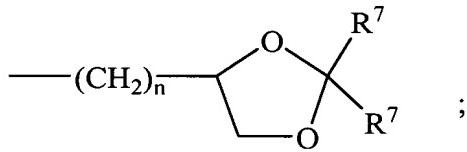
$R^1$  is hydrogen or lower alkyl;

each  $R^2$  is, independently,  $-R^7$ ,  $-(CH_2)_m-OR^8$ ,  $-(CH_2)_m-NR^7R^{10}$ ,

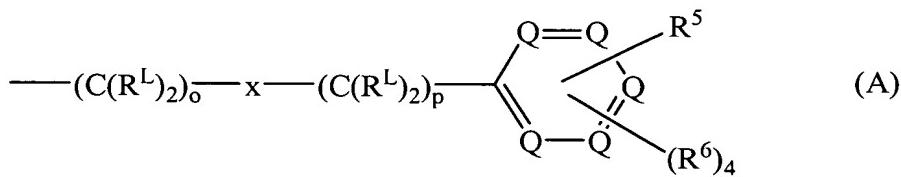
$-(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  $-(CH_2CH_2O)_m-R^8$ ,

$-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$ ,  $-(CH_2)_n-C(=O)NR^7R^{10}$ ,  $-(CH_2)_n-Z_g-R^7$ ,  $-(CH_2)_m-NR^{10}-$

$CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  $-(CH_2)_n-CO_2R^7$ , or



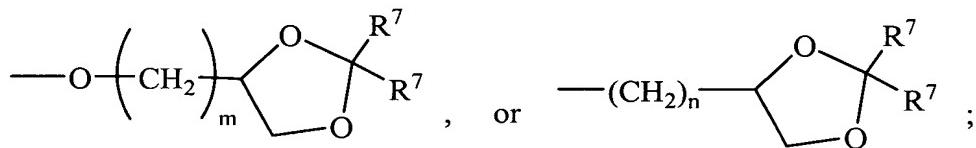
$R^3$  and  $R^4$  are each, independently, hydrogen, a group represented by formula (A), lower alkyl, hydroxy lower alkyl, phenyl, phenyl-lower alkyl, (halophenyl)-lower alkyl, lower-(alkylphenylalkyl), lower (alkoxyphenyl)-lower alkyl, naphthyl-lower alkyl, or pyridyl-lower alkyl, with the proviso that at least one of  $R^3$  and  $R^4$  is a group represented by formula (A):



wherein

each  $R^L$  is, independently,  $-R^7$ ,  $-(CH_2)_n-OR^8$ ,  $-O-(CH_2)_m-OR^8$ ,  $-(CH_2)_n-NR^7R^{10}$ ,  $-O-(CH_2)_m-NR^7R^{10}$ ,  $-(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  $-O-(CH_2)_m(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  $-(CH_2CH_2O)_m-R^8$ ,

-O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>,  
 -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>,  
 -O-(CH<sub>2</sub>)<sub>m</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-(Z)<sub>g</sub>-R<sup>7</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-(Z)<sub>g</sub>-R<sup>7</sup>,  
 -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,  
 -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,  
 -(CH<sub>2</sub>)<sub>n</sub>-CO<sub>2</sub>R<sup>7</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-CO<sub>2</sub>R<sup>7</sup>, -OSO<sub>3</sub>H, -O-glucuronide, -O-glucose,



each o is, independently, an integer from 4 to 10;

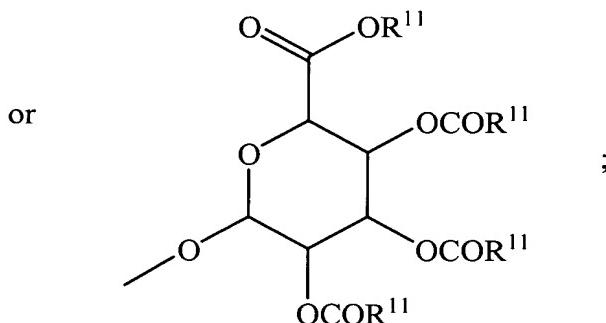
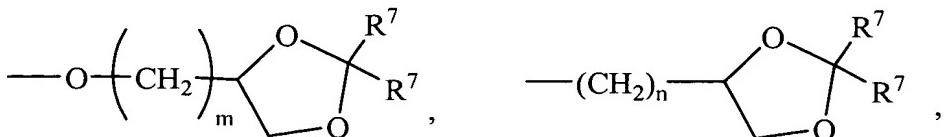
each p is an integer from 0 to 10;

with the proviso that the sum of o and p in each contiguous chain is from 4 to 10;

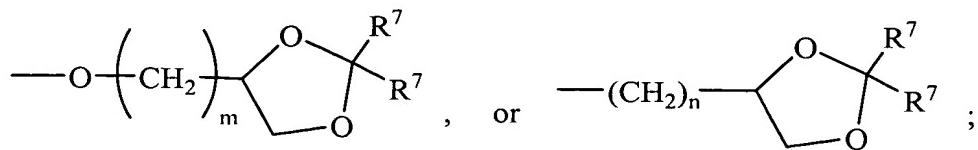
each x is, independently, O, NR<sup>10</sup>, C(=O), CHO, C(=N-R<sup>10</sup>), CHNR<sup>7</sup>R<sup>10</sup>, or represents a single bond;

each R<sup>5</sup> is, independently, -(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>,  
 -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>7</sup>R<sup>10</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,  
 -O-(CH<sub>2</sub>)<sub>m</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>,  
 -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>,  
 -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>,  
 -O-(CH<sub>2</sub>)<sub>m</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-(Z)<sub>g</sub>-R<sup>7</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-(Z)<sub>g</sub>-R<sup>7</sup>,  
 -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,  
 -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,

$-(CH_2)_n-CO_2R^7$ ,  $-O-(CH_2)_m-CO_2R^7$ ,  $-OSO_3H$ ,  $-O$ -glucuronide,  $-O$ -glucose,



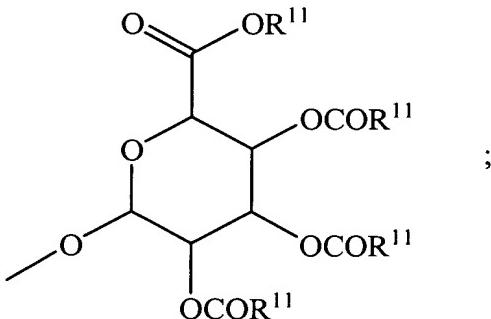
each  $R^6$  is, independently,  $-R^7$ ,  $-OR^{11}$ ,  $-N(R^7)_2$ ,  $-(CH_2)_m-OR^8$ ,  
 $-O-(CH_2)_m-OR^8$ ,  $-(CH_2)_n-NR^7R^{10}$ ,  $-O-(CH_2)_m-NR^7R^{10}$ ,  
 $-(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  $-O-(CH_2)_m(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  
 $-(CH_2CH_2O)_m-R^8$ ,  $-O-(CH_2CH_2O)_m-R^8$ ,  $-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$ ,  
 $-O-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$ ,  $-(CH_2)_n-C(=O)NR^7R^{10}$ ,  $-O-(CH_2)_m-C(=O)NR^7R^{10}$ ,  
 $-(CH_2)_n-(Z)_g-R^7$ ,  $-O-(CH_2)_m-(Z)_g-R^7$ ,  $-(CH_2)_n-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  
 $-O-(CH_2)_m-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  
 $-(CH_2)_n-CO_2R^7$ ,  $-O-(CH_2)_m-CO_2R^7$ ,  $-OSO_3H$ ,  $-O$ -glucuronide,  $-O$ -glucose,



wherein when two R<sup>6</sup> are -OR<sup>11</sup> and are located adjacent to each other on a phenyl ring, the alkyl moieties of the two R<sup>6</sup> may be bonded together to form a methylenedioxy group;

each R<sup>7</sup> is, independently, hydrogen or lower alkyl;

each R<sup>8</sup> is, independently, hydrogen, lower alkyl, -C(=O)-R<sup>11</sup>, glucuronide, 2-tetrahydropyranyl, or



each R<sup>9</sup> is, independently, -CO<sub>2</sub>R<sup>7</sup>, -CON(R<sup>7</sup>)<sub>2</sub>, -SO<sub>2</sub>CH<sub>3</sub>, or -C(=O)R<sup>7</sup>;

each R<sup>10</sup> is, independently, -H, -SO<sub>2</sub>CH<sub>3</sub>, -CO<sub>2</sub>R<sup>7</sup>, -C(=O)NR<sup>7</sup>R<sup>9</sup>, -C(=O)R<sup>7</sup>, or -CH<sub>2</sub>-(CHOH)<sub>n</sub>-CH<sub>2</sub>OH;

each Z is, independently, CHOH, C(=O), CHNR<sup>7</sup>R<sup>10</sup>, C=NR<sup>10</sup>, or NR<sup>10</sup>;

each R<sup>11</sup> is, independently, lower alkyl;

each g is, independently, an integer from 1 to 6;

each m is, independently, an integer from 1 to 7;

each n is, independently, an integer from 0 to 7;

each Q is, independently, C-R<sup>5</sup> or C-R<sup>6</sup>, wherein one Q is C-R<sup>5</sup>;

or a pharmaceutically acceptable salt thereof, and

inclusive of all enantiomers, diastereomers, and racemic mixtures thereof.

126. (Previously Presented) A method of blocking sodium channels, comprising:  
contacting sodium channels with an effective amount of the compound as defined in  
any one of Claims 2-11, 14-85, 119, 120-125.

127. (Previously Presented) A method of blocking sodium channels, comprising:  
contacting sodium channels with an effective amount of the composition as defined in  
any one of Claims 86, 117, and 118.